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* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * *
NEWS	1			Web Page for STN Seminar Schedule - N. America
NEWS		AUG	1.0	Time limit for inactive STN sessions doubles to 40
	_	1100		minutes
NEWS	3	AUG	18	COMPENDEX indexing changed for the Corporate Source
112110	•	1100	10	(CS) field
NEWS	4	AUG	24	ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS	5	AUG	24	
				U.S. patents
NEWS	6	SEP	09	50 Millionth Unique Chemical Substance Recorded in
				CAS REGISTRY
NEWS	7	SEP	11	WPIDS, WPINDEX, and WPIX now include Japanese FTERM
				thesaurus
NEWS	8	OCT	21	Derwent World Patents Index Coverage of Indian and
				Taiwanese Content Expanded
NEWS	9	OCT	21	Derwent World Patents Index enhanced with human
				translated claims for Chinese Applications and
				Utility Models
NEWS		NOV		Addition of SCAN format to selected STN databases
NEWS		NOV		Annual Reload of IFI Databases
NEWS				FRFULL Content and Search Enhancements
NEWS	13	DEC	01	DGENE, USGENE, and PCTGEN: new percent identity
				feature for sorting BLAST answer sets
NEWS	14	DEC	02	Derwent World Patent Index: Japanese FI-TERM
				thesaurus added
NEWS	15	DEC	02	PCTGEN enhanced with patent family and legal status
				display data from INPADOCDB
NEWS	16	DEC	02	USGENE: Enhanced coverage of bibliographic and
				sequence information
NEWS	17	DEC	21	New Indicator Identifies Multiple Basic Patent
				Records Containing Equivalent Chemical Indexing
				in CA/CAplus
NEWS	T.R	JAN	12	Match STN Content and Features to Your Information
NEWS	10	JAN	2.5	Needs, Quickly and Conveniently Annual Reload of MEDLINE database
NEWS		FEB		STN Express Maintenance Release, Version 8.4.2, Is
NEWS	20	FEB	10	Now Available for Download
NEWS	21	FEB	16	Derwent World Patents Index (DWPI) Revises Indexing
MEMO	21	rab	то	of Author Abstracts
NEWS	22	FFR	16	
NEWS				INPADOCDB and INPAFAMDB Enriched with New Content
MEMO	23	- 20	10	THE ADOLD AND THE ATAD EMITCHED WITH NEW CONTENT

and Features

NEWS 24 FEB 16 INSPEC Adding Its Own IPC codes and Author's E-mail Addresses

NEWS EXPRESS FEBRUARY 15 10 CURRENT WINDOWS VERSION IS V8.4.2. AND CURRENT DISCOVER FILE IS DATED 15 JANUARY 2010.

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SINCE FILE ENTRY 0.22

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0.22

SESSION

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=>

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```
chain nodes :
17 18 21
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16
chain bonds :
2-15 5-17 7-18 9-21 17-18
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16
exact/norm bonds :
1-2 1-5 4-5 6-7 6-10 7-8 7-18 8-9 9-10 9-21 17-18
exact bonds :
2-3 2-15 3-4 5-17
normalized bonds :
11-12 11-16 12-13 13-14 14-15 15-16
isolated ring systems :
containing 1 : 6 : 11 :
```

10588702

G1:0,N,CH2

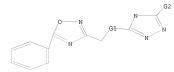
G2:Hy,Ph

Match level :

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS L1 STR



G1 O,N,CH2 G2 Hv,Ph

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 10:43:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 29 TO ITERATE

100.0% PROCESSED 29 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 257 TO 903
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s ll sss full

FULL SEARCH INITIATED 10:43:22 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 553 TO ITERATE

100.0% PROCESSED 553 ITERATIONS

SEARCH TIME: 00.00.01

38 ANSWERS

L3 38 SEA SSS FUL L1

=> FIL HCAPLUS COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 191.54 191.76

FILE 'HCAPLUS' ENTERED AT 10:43:28 ON 22 FEB 2010 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 22 Feb 2010 VOL 152 ISS 9 FILE LAST UPDATED: 21 Feb 2010 (20100221/ED) REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2009 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2009

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 L4

6 1.3

=> s 14 and pv<=2004 25157360 PY<=2004

1 L4 AND PY<=2004

=> d 14 ibib abs hitstr tot

L4 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:524220 HCAPLUS

150:494877 DOCUMENT NUMBER:

TITLE: Preparation of amino 1,2,4-triazole derivatives as

INVENTOR(S):

PATENT ASSIGNEE(S):

modulators of mGluR5 Isaac, Methvin; Waallberg, Andreas Astrazeneca AB, Swed. PCT Int. Appl., 71pp. SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English GI

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| PATEN: | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION | NO. | | D. | ATE | |
|------------|-------|------|------|------|------|------|-------|------|------|------|------|------|------|-----|------|-----|
| | | | | | - | | | | | | | | | | | |
| WO 200 | 90547 | 94 | | A1 | | 2009 | 0430 | | WO 2 | -800 | SE51 | 197 | | 2 | 0081 | 023 |
| W | ΑE, | AG, | AL, | AM, | AO, | AT, | AU, | ΑZ, | BA, | BB, | BG, | BH, | BR, | BW, | ΒY, | BZ, |
| | CA, | CH, | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DO, | DZ, | EC, | EE, | EG, | ES, |
| | FI, | GB, | GD, | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, |
| | KG, | KM, | KN, | KP, | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LY, | MA, | MD, |
| | ME, | MG, | MK, | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, |
| | PL, | PT, | RO, | RS, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | ST, | SV, | SY, | TJ, |
| | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | |
| R | : AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HR, | HU, |
| | ΙE, | IS, | ΙT, | LT, | LU, | LV, | MC, | MT, | NL, | NO, | PL, | PT, | RO, | SE, | SI, | SK, |
| | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, |
| | TG, | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, |
| | AM, | AZ, | BY, | KG, | KZ, | MD, | RU, | ΤJ, | TM | | | | | | | |
| US 200 | 90111 | 821 | | A1 | | 2009 | 0430 | | US 2 | 008- | 2581 | 14 | | 2 | 0081 | 024 |
| PRIORITY A | PLN. | INFO | . : | | | | | | US 2 | 007- | 9829 | 56P | 1 | P 2 | 0071 | 026 |
| ASSIGNMENT | HISTO | RY F | OR U | S PA | TENT | AVA | ILABI | LE I | N LS | US D | ISPL | AY F | AMRC | Г | | |
| OTHER SOUR | E(S): | | | MAR | PAT | 150: | 4948 | 77 | | | | | | | | |

AB The title compds. I [Rl = Me, halo, CN; R2 = H or F; R3, R4 = alkyl, cyclopropyl; R5 = H, alkyl, cyclopropyl; X = isoxazole, triazole, tetrazole, etc.; Z = (un)substituted pyrimidinyl, pyrazinyl, pyridazinyl, etc.], useful as modulators of mGluR5, were prepared E.g., a multi-step synthesis of II, starting from [5-(3-chlorophenyl)isoxazol-3-yl]methyl methanesulfonate with cyclopropylamine, was given. II showed IC50 of 41 nM against human mGluR5d in FLIPR assay. Pharmaceutical compns. comprising compound I, alone or in combination with other therapeutic agent, are disclosed.

II

Ι

1147756-42-0P 1147756-45-3P 1147756-48-6P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted 1,2,4-triazolamines as modulators of mGluR5)

RN 1147756-42-0 HCAPLUS

CN 3(2H)-Pvridazinone, 5-[5-[[[5-(3-chlorophenv1)-1,2,4-oxadiazol-3-

vllmethvllethvlaminol-4-methvl-4H-1,2,4-triazol-3-vll- (CA INDEX NAME)

1147756-45-3 HCAPLUS RN

CN 3(2H)-Pvridazinone, 5-[5-[ethvl][5-(3-methvlphenvl)-1,2,4-oxadiazol-3vl|methyl|amino|-4-methyl-4H-1,2,4-triazol-3-yl|- (CA INDEX NAME)

1147756-48-6 HCAPLUS RN

2(1H)-Pyridinone, 4-[5-[ethyl[[5-(3-methylphenyl)-1,2,4-oxadiazol-3-CN yl]methyl]amino]-4-methyl-4H-1,2,4-triazol-3-yl]-1-methyl- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

6 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2009:524183 HCAPLUS

DOCUMENT NUMBER: 150:472725

TITLE: Preparation of 1,2,4-triazole aryl N-oxides

derivatives as modulators of mGluR5 INVENTOR(S): Granberg, Kenneth; Waallberg, Andreas

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 51pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PATENT | | | | KIN | D | DATE | | | | | | | | D | ATE | |
|--------------|------|-----|-----|-----|------|-------|-------|------|-------|------|------|------|-----|-----|------|------|
| WO 2009 | | | | A1 | _ | 2009 | 0430 | | | 008- | | | | 2 | 0081 | 023 |
| W: | AE, | AG, | AL, | AM, | AO, | AT, | AU, | AZ, | BA, | BB, | BG, | BH, | BR, | BW, | BY, | BZ, |
| | CA, | CH, | CN. | CO, | CR. | CU, | CZ. | DE, | DK. | DM. | DO. | DZ. | EC. | EE, | EG. | ES, |
| | | | | | | GM. | | | | | | | | | | |
| | KG. | KM. | KN. | KP. | KR. | KZ, | LA. | LC. | LK. | LR. | LS. | LT. | LU. | LY. | MA. | MD. |
| | | | | | | MX. | | | | | | | | | | |
| | | | | | | SC, | | | | | | | | | | |
| | | | | | | UA, | | | | | | | | | ~-, | , |
| RW: | | | | | | CZ, | | | | | | | | | HR. | HII. |
| | | | | | | LV, | | | | | | | | | | |
| | | | | | | CI, | | | | | | | | | | |
| | | | | | | LS, | | | | | | | | | | |
| | | | | | | MD, | | | | UD, | 01, | 55, | 10, | 00, | D11, | u., |
| US 2009 | | | | | | 2009 | | | | 008- | 2591 | 51 | | 2 | 0081 | 024 |
| PRIORITY APP | | | | | | | | | | 007- | | | | | | |
| ASSIGNMENT H | | | | | | | | | | | | | | | 00/1 | 020 |
| OTHER SOURCE | | | | | | | | | | | | | | 1 | | |
| GI | (5): | | | CAS | REAU | .1 13 | 0:47. | 2123 | ; PIA | RPAI | 150 | :4/2 | 123 | | | |
| GI | | | | | | | | | | | | | | | | |

The title compds. I [R1 = Me, halo, CN; R2 = H or F; X = isoxazole, triazole, tetrazole, etc.; Y = triazolylpiperidinyl, x - Isokador, triazolylpyrrolidinyl, triazolylpyrrolidinyl, triazolylpyrrolidinyl, triazolylpyrrolidinyl, triazolylpyrrolidinyl, troating $N-\{(1S)-1-[5-(3-chloropheny1)-1,2,4-oxadiazol-3-y1]ethy1\}-N,4-dimethy1-5-$ (pyridin-4-yl)-4H-1,2,4-triazol-3-amine with hydrogen peroxide afforded 58% (1S)-II which showed IC50 of 81 nM against human mGluR5d in FLIPR assay. Pharmaceutical compns. comprising compound I, alone or in

Page 8

combination with other therapeutic agent, are disclosed.

1147105-70-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1,2,4-triazole aryl N-oxides derivs. as modulators of mGluR5)

1147105-70-1 HCAPLUS

CN 1, 2, 4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N, α-dimethyl-N-[4methyl-5- $(1-oxido-4-pyridinyl)-4H-1,2,4-triazol-3-yl]-, (<math>\alpha S$)- (CA INDEX NAME)

Absolute stereochemistry.

TТ 870974-34-8

> RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of 1,2,4-triazole arvl N-oxides derivs, as modulators of mGluR5)

RN 870974-34-8 HCAPLUS

1, 2, 4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N, α-dimethyl-N-[4methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]-, (αS)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN 2008:445018 HCAPLUS

ACCESSION NUMBER: 148:449638

DOCUMENT NUMBER:

TITLE: Preparation of substituted phenylheteroarylalkoxytriazoles for use as mGluR5

INVENTOR(S): Isaac, Methvin; Slassi, Abdelmalik; Edwards, Louise;

Page 9

Dove, Peter; Xin, Tao; Stefanac, Tomislav

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 93 pp.

10588702.trn 02/22/2010

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

Patent English

PATENT INFORMATION:

| | | | | | | | | | | | ICAT | | | | | | |
|---------|-------|------|------|------|------|------|------|-------|------|------|----------------|-------|------|-------|-----|------|-----|
| WO | 2008 | 0410 | 75 | | A1 | | 2008 | 0410 | | WO 2 | 2007- | IB27 | 84 | | 2 | 0070 | 925 |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BH, | BR, | BW, | BY, | BZ, | CA, |
| | | CH, | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DO, | DZ, | EC, | EE, | EG, | ES, | FI, |
| | | GB, | GD, | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, |
| | | KM, | KN, | KP, | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LY, | MA, | MD, | ME, |
| | | MG, | MK, | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, |
| | | PT, | RO, | RS, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SV, | SY, | ΤJ, | TM, | TN, |
| | | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | zw | | | | |
| | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, |
| | | IS, | ΙT, | LT, | LU, | LV, | MC, | MT, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, |
| | | | | | | | | | | | ML, | | | | | | |
| | | GH, | GM, | KE, | LS, | MW, | MZ, | ΝA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | ΑM, | ΑZ, |
| | | | | | | | ТJ, | | | | | | | | | | |
| AU | 2007 | 3038 | 89 | | A1 | | 2008 | 0410 | | AU 2 | 2007- | 3038 | 89 | | 2 | 0070 | 925 |
| CA | 2665 | 083 | | | A1 | | 2008 | 0410 | | CA 2 | 2007- | 2665 | 083 | | 2 | 0070 | 925 |
| US | 2008 | 0125 | 436 | | A1 | | 2008 | 0529 | | US 2 | 2007- | 9027 | 84 | | 2 | 0070 | 925 |
| EP | | | | | | | | | | | 2007- | | | | | | |
| | R: | | | | | | | | | | ES, | | | | | | |
| | | | | | | | LV, | MC, | MT, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, |
| | | | BA, | | | | | | | | | | | | | | |
| IN | 2009 | DN01 | 752 | | A | | 2009 | 0515 | | IN 2 | 2009- | DN17 | 52 | | 2 | 0090 | 317 |
| MX | 2009 | 0032 | 27 | | A | | 2009 | 0406 | | MX 2 | 2009-
2009- | 3227 | | | 2 | 0090 | 325 |
| KR | 2009 | 0603 | 28 | | A | | 2009 | 0611 | | KR 2 | 2009- | 7068 | 75 | | 2 | 0090 | 403 |
| | | | | | | | | | | | 2009- | | | | | 0090 | |
| | | | | | A | | 2009 | 0930 | | | 2007- | | | | | 0090 | |
| RIORIT | Y APP | LN. | TNEO | . : | | | | | | | 2006- | | | | | 0061 | |
| | | | | | | | | | | | 2007- | | | | | 0070 | 925 |
| ASSIGNM | ENT H | LSTO | RY F | OR U | S PA | LENI | AVA | LLAB. | LE I | N LS | 5US D | LSPL. | AY F | ORMA' | Г | | |

OTHER SOURCE(S): MARPAT 148:449638 GI

AB Title compds. I [R1 = Me, halo, or CN; R2 = H or F; R3 and R4 independently = H or alkly; R5 = alklyl or cyclopropyl; X = oxazolyl, oxadiazolyl, or tetrazolyl; Z = (un)substituted heteroaryl], and their pharmaceutically acceptable salts, are prepared and disclosed as mGluR5 modulators. Thus, e.g., II was prepared by coupling of (IR)-1-[5-(3-chlorophenyl)isoxazol-3-yl]ethanol (preparation given) and 4-(5-methanesulfonyl-4-methyl-Hl-l,2,4|triazol-3-yl)-1-methyl-H-pyridin-2-one (preparation given). Select I were evaluated in FLIPR mGluR5 assays, e.g., II demonstrated an IC50 value of 19 nM.

II 1018680-65-3P 1018680-71-1P 1018680-74-4P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

Ι

(Uses)
(preparation of substituted phenylheteroarylalkoxytriazoles for use as mGluR5 modulators)

RN 1018680-65-3 HCAPLUS

CN 2(1H)-Pyridinone, 4-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]-1-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 1018680-71-1 HCAPLUS

CN 2(1H)-Pyridinone, 4-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1018680-74-4 HCAPLUS
- CN 3(2H)-Pyridazinone, 5-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

- IT 1018681-07-6P 1018681-09-8P
- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (preparation of substituted phenylheteroarylalkoxytriazoles for use as mGluR5 modulators)
- RN 1018681-07-6 HCAPLUS
- CN 2(1H)-Pyridinone, 4-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]-1-[[2]
 (trimethylsilyl)ethoxy]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1018681-09-8 HCAPLUS

CN 3(2H)-Pyridazinone, 5-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]-2-[[2(trimethylsityl)ethoxy]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1292048 HCAPLUS

DOCUMENT NUMBER: 144:36353

TITLE: Preparation of heteropolycyclic compounds and their

use as metabotropic glutāmāte receptor antagonists
Edwards, Louise; Isaac, Methvin, Johansson, Martin,
Kers, Annika; Malmberg, Johan; McLeod, Donald; Mindis,
Alexander; Staaf, Karin; Slassi, Abdelmalik; Stefanac,
Tomislay; Stormann, Thomas; Wensbo, David; Xin, Tao;

Arora, Jalaj
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Nps Pharmaceuticals Inc.

SOURCE: U.S. Pat. Appl. Publ., 175 pp.

CODEN: USXXCO DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| PAT | ENT : | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION : | NO. | | D | ATE | | |
|-----|--------------|------|-----|-----|----------|-----|--------------|------|-----|--------------|------|-------|-----|-----|-----|--------------|-----|--------|
| | 2005 | | 779 | | A1
B2 | | 2005
2009 | | | US 2 | 005- | 5375 | 2 | | 2 | 0050 | 209 | |
| AU | 2005 | 2702 | 80 | | A1 | | 2006 | 0209 | | AU 2 | | | | | | 0050 | | |
| | 2555
2006 | 0141 | | | A1
A1 | | 2006
2006 | 0209 | | CA 2
WO 2 | 005- | US47 | 74 | | 2 | 0050
0050 | 215 | |
| | W: | | | | | | AU,
DE, | | | | | | | | | | | |
| | | | | | | | ID, | | | | | | | | | | | |
| | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | 77 147 |
| | RW: | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | uп |

CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ. MD. RU. TJ. TM EP 1723144 20061122 EP 2005-802855 20050215 A1 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU 20070620 CN 2005-80004306 CN 1984907 Α 20050215 20070710 BR 2005-7497 BR 2005007497 Α 20050215 JP 2007523168 Т 20070816 JP 2006-554165 20050215 CN 101096368 Α 20080102 CN 2007-10127847 20050215 SG 2008-6914 SG 146657 A1 20081030 20050215 NZ 548954 Α 20090731 NZ 2005-548954 20050215 RII 2370495 20091020 RII 2006-128446 20050215 ZA 2006006551 20071128 ZA 2006-6551 20060807 Α NO 2006003599 Α 20061027 NO 2006-3599 20060808 MX 2006009020 Α 20061207 MX 2006-9020 20060808 KR 2007018006 Α 20070213 KR 2006-716018 20060808 IN 2006DN04751 20070831 IN 2006-DN4751 Α 20060818 US 20070179188 A1 20070802 US 2007-588702 20070313 US 20070293545 US 2007-840954 A1 20071220 20070818 US 20080015234 A1 20080117 US 2007-840952 20070818 US 2007-840955 US 20080015204 20080117 20070818 A1 US 20080045571 20080221 US 2007-840953 20070818 A1 PRIORITY APPLN. INFO.: US 2004-608960P 20040218 US 2005-53752 A3 20050209 CN 2005-80004306 A3 20050215 WO 2005-US4774 20050215

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 144:36353; MARPAT 144:36353 GI

AB The present invention presents the syntheses of heteropolycyclic compds., e.g. I and II, for use as metabotropic glutamate receptor antagonists.

10588702

For example, adding BuLi to $4\text{-}(4\text{-}\mathrm{cyclopropyl-5\text{-}methyl-4H-}[1,2,4]\text{triazol-3-yl)}pyridine in THF at -78°C for 15 mins and then adding$

3-(1-bromoethy1)-5-(3-chloropheny1)-[1,2,4] oxadiazole in THF gave I. The compds. are designed for the prevention and/or treatment of mGluR5 receptor-mediated disorders.

IT 870974-57-5P

RL: BPN (Biosynthetic preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteropolycyclic compds. for treating and/or preventing mGluR5 receptor-mediated disorders)

RN 870974-57-5 HCAPLUS

N 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-α-methyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.

IT 870974-18-8P 870974-34-8P 870974-43-9P

871028-86-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of heteropolycyclic compds. for treating and/or preventing mGluR5 receptor-mediated disorders)

RN 870974-18-8 HCAPLUS

CN Pyridine, 4-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 870974-34-8 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N,α-dimethyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]-, (αS)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

- RN 870974-43-9 HCAPLUS
- CN 1,2,4-0xadiazole-3-methanamine, 5-(3-chlorophenyl)-N, α -dimethyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

- RN 871028-86-3 HCAPLUS
- CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N, α -dimethyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]-, (α R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

- IΤ 660423-10-9P 870973-98-1P 870973-99-2P 870974-01-9P 870974-02-0P 870974-03-1P 870974-14-4P 870974-12-2P 870974-17-7P 870974-19-9P 870974-23-5P 870974-25-7P 870974-26-8P 870974-27-9P 870974-40-6P 870974-41-7P 870974-54-2P 870974-55-3P 870974-56-4P
 - RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 - (preparation of heteropolycyclic compds. for treating and/or preventing mGluR5 receptor-mediated disorders)
- RN 660423-10-9 HCAPLUS
- CN Pyridine, 3-[5-[1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

- RN 870973-98-1 HCAPLUS
- CN Pyridine, 4-[5-[2-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]propyl]-4cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

- RN 870973-99-2 HCAPLUS
- CN Pyridine, 4-[5-[2-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethyl]-4cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ N-N & & \\ \end{array} \begin{array}{c} CH_2-CH_2 \\ & & \\ N-O & \\ \end{array} \begin{array}{c} C1 \\ \end{array}$$

- RN 870974-01-9 HCAPLUS
- CN Pyridine, 4-[5-[2-[5-(5-chloro-2-fluoropheny1)-1,2,4-oxadiazol-3-y1]propy1]-4-methy1-4H-1,2,4-triazol-3-y1]- (CA INDEX NAME)

$$\begin{array}{c} \text{Cl} & \text{Me} \\ \text{Me} & \text{CH} \\ \text{CH} \\ \text{CH} \\ \text{CH} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \end{array}$$

- RN 870974-02-0 HCAPLUS
- CN Pyridine, 4-[5-[2-[5-(5-chloro-2-fluorophenyl)-1,2,4-oxadiazol-3-yl]propyl]-4-cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

Page 17

$$\begin{array}{c} \text{C1} \\ \text{Me} \\ \text{CH-CH}_2 \\ \text{N-N} \end{array}$$

- RN 870974-03-1 HCAPLUS
- CN Pyridine, 4-[4-methyl-5-[2-[5-(3-methylphenyl)-1,2,4-oxadiazol-3-yl]ethyl]4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

- RN 870974-12-2 HCAPLUS
- CN Pyridine, 4-[5-[1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

- RN 870974-14-4 HCAPLUS
- CN Pyridine, 3-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 870974-17-7 HCAPLUS
- CN Pyridine, 4-[5-[1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]propoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

Page 18

RN 870974-19-9 HCAPLUS

CN Pyridine, 4-[5-[(15)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 870974-23-5 HCAPLUS

CN 1,2,4-0xadiazole-3-methanamine, 5-(3-chlorophenyl)-N, α -dimethyl-N-[4-methyl-5-(3-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 870974-25-7 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, N-methyl-5-(3-methylphenyl)-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 870974-26-8 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(5-chloro-2-fluoropheny1)-N-methyl-N-[4-methyl-5-(4-pyridiny1)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

- RN 870974-27-9 HCAPLUS
- CN 1,2,4-Oxadiazole-3-methanamine, 5-(4-chlorophenyl)-N-cyclopropyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

- RN 870974-40-6 HCAPLUS
- CN Benzonitrile, 3-[3-[[[5-(2-methoxy-4-pyridiny1)-4-methy1-4H-1,2,4-triazol-3-y1]methy1amino]methy1]-1,2,4-oxadiazol-5-y1]- (CA INDEX NAME)

- RN 870974-41-7 HCAPLUS
- CN Benzonitrile, 3-[3-[[methyl[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]amino]methyl]-1,2,4-oxadiazol-5-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \\ & \text{Me} & \\ & \text{NC} & \text{CH}_2 - N \\ & & N - N \end{array}$$

- RN 870974-54-2 HCAPLUS
 - CN 1,2,4-0xadiazole-3-methanamine, 5-(3-chlorophenyl)-N-[4-cyclopropyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]-N-methyl- (CA INDEX NAME)

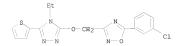
RN 870974-55-3 HCAPLUS

CN 1,2,4-0xadiazole-3-methanamine, 5-(3-chlorophenyl)-N, α , α -trimethyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 870974-56-4 HCAPLUS

CN Pyridine, 4-[5-[1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]-1methylethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

- IIT 660422-23-1P, 5-(3-Chlorophenyl)-3-[[[4-ethyl-5-(thiophen-2-yl)-4H-[1,2,4]triazol-3-yl]oxy]methyl]-[1,2,4]oxadiazole
 - 660422-24-2P 660422-83-3P 660422-84-4P
 - 870973-27-6P
 - RL: SPN (Synthetic preparation); PREP (Preparation)
 - (preparation of heteropolycyclic compds. for treating and/or preventing mGluR5 receptor-mediated disorders)
- RN 660422-23-1 HCAPLUS
- CN 1,2,4-Oxadiazole, 5-(3-chlorophenyl)-3-[[[4-ethyl-5-(2-thienyl)-4H-1,2,4-triazol-3-yl]oxy]methyl]- (CA INDEX NAME)



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- RN 660422-24-2 HCAPLUS
- CN Pyridine, 4-[5-[[5-(5-chloro-2-fluoropheny1)-1,2,4-oxadiazol-3-y1]methoxy]-4-methyl-4H-1,2,4-triazol-3-y1]- (CA INDEX NAME)

- RN 660422-83-3 HCAPLUS
- CN Pyridine, 4-[5-[2-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]propyl]-4methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

- RN 660422-84-4 HCAPLUS
- CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N-methyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \text{Me} \\ & & \text{Me} \\ & & \text{N} \\ \text{CH}_2 - \text{N} \\ & & \text{N} - \text{N} \\ \end{array}$$

- RN 870973-27-6 HCAPLUS
- CN Pyridine, 4-[5-[[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]methoxy]-4-cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

Page 22

6

- OS.CITING REF COUNT:
- THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:888916 HCAPLUS

DOCUMENT NUMBER: 143:242011

TITLE: Heterocyclic compounds for the treatment of

gastro-esophageal reflux disease
INVENTOR(S): Lehmann, Anders; Mattsson, Jan; Nilsson, Karolina

PATENT ASSIGNEE(S): Lenmann, Anders; Mattsson, Jan; Nilsson, Karolin.

Astrazeneca AB, Swed.; NPS Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 130 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| | TENT : | | | | KIN | D | DATE | | | | | ION: | | | | ATE | |
|--|--------|-------------------|-------------------|-------------------|-------------------|-------------------|--------------------------|-------------------|-------------------|-------------------|-------------------|-------------------|-------------------|-------------------|-------------------|-------------------|------------------|
| | 2005 | | | | A1 | | 2005 | 0825 | | | | | | | | 0050 | |
| | W: | CN, | CO, | CR, | CU, | CZ, | AU,
DE,
ID, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | DM. | LK,
NO,
TJ, | LR,
NZ,
TM, | LS,
OM,
TN, | LT,
PG,
TR, | LU,
PH,
TT, | LV,
PL,
TZ, | MA,
PT,
UA, | MD,
RO,
UG, | MG,
RU,
US, | MK,
SC,
UZ, | MN,
SD,
VC, | MW,
SE,
VN, | MX,
SG,
YU, | MZ,
SK,
ZA, | NA,
SL,
ZM, | NI,
SY,
ZW |
| | RW: | AZ,
EE,
RO, | BY,
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SI, | KZ,
FR, | MD,
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TR, | MW,
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GR,
BF, | TJ,
HU, | TM,
IE, | AT,
IS, | BE,
IT, | BG,
LT, | CH,
LU, | CY,
MC, | CZ, | DE,
PL, | DK, |

PRIORITY APPLN. INFO.: US 2004-541056P P 20040203

OTHER SOURCE(S): MARPAT 143:242011

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AB The present invention relates to the use of a heterocyclic compound such as I for the inhibition of transient lower esophageal sphincter relaxations and for the treatment of gastro-esophageal reflux disease.

Ι

IT 660422-23-1 660422-24-2 660422-83-3

660422-84-4 660423-10-9

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (heterocyclic compds. for the treatment of gastroesophageal reflux disease)

RN 660422-23-1 HCAPLUS

CN 1,2,4-Oxadiazole, 5-(3-chlorophenyl)-3-[[[4-ethyl-5-(2-thienyl)-4H-1,2,4triazol-3-yl]oxy]methyl]- (CA INDEX NAME)

Page 23

- RN 660422-24-2 HCAPLUS
- CN Pyridine, 4-[5-[5-(5-chloro-2-fluoropheny1)-1,2,4-oxadiazol-3-y1]methoxy]-4-methyl-4H-1,2,4-triazol-3-y1]- (CA INDEX NAME)

$$\begin{picture}(100,0) \put(0,0){\line(1,0){100}} \put(0,0){\line(1,0){10$$

- RN 660422-83-3 HCAPLUS
- CN Pyridine, 4-[5-[2-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]propyl]-4methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

- RN 660422-84-4 HCAPLUS
- CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N-methyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

- RN 660423-10-9 HCAPLUS
- CN Pyridine, 3-[5-[1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD

(5 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:143126 HCAPLUS DOCUMENT NUMBER: 140:199331

TITLE: Preparation of five-membered heterocyclic compounds as

mGluR5 receptor antagonists

Kers, Annika; Malmberg, Johan; Oscarsson, Karin; Gyback, Helena; Johansson, Martin; Minidis, Alexander; Waldman, Mangus; Yngve, Ulrika; Osterwall, Christoffer

PATENT ASSIGNEE(S): Astra Zeneca Ab, Swed.; NPS Pharmaceuticals, Inc. SOURCE: PCT Int. Appl., 318 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| | ENT : | | | | KIN | D | DATE | | | APPL | ICAT | ION I | NO. | | | ATE | |
|----|-------|------|-----|-----|-----|-----|------|------|-----|------|------|-------|-----|-----|-----|------|-----|
| WO | 2004 | 0148 | 81 | | | | | | | WO 2 | 003- | US24 | 846 | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | LK, | LR, |
| | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NI, | NO, | NZ, | OM, |
| | | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, | TJ, | TM, | TN, |
| | | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, |
| | | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, |
| | | FI, | FR. | GB, | GR, | HU, | IE, | IT, | LU, | MC, | NL, | PT, | RO, | SE, | SI, | SK, | TR, |
| | | BF. | BJ, | CF. | CG. | CI, | CM, | GA. | GN, | GO, | GW. | ML. | MR. | NE. | SN. | TD, | TG |
| CA | 2494 | 987 | | | A1 | | 2004 | 0219 | | CA 2 | 003- | 2494 | 987 | | 2 | 0030 | 808 |
| AU | 2003 | 2590 | 68 | | A1 | | 2004 | 0225 | | AU 2 | 003- | 2590 | 68 | | 2 | 0030 | 808 |
| AU | 2003 | 2590 | 68 | | B2 | | 2009 | 0702 | | | | | | | | | |
| US | 2004 | 0152 | 699 | | A1 | | 2004 | 0805 | | US 2 | 003- | 6370 | 12 | | 2 | 0030 | 808 |
| | 1529 | | | | | | | | | | | | | | | | |
| | R: | AT. | BE. | CH. | DE. | DK. | ES, | FR. | GB. | GR. | IT. | LI. | LU. | NL. | SE. | MC. | PT. |
| | | | | | | | RO, | | | | | | | | | | |
| BR | 2003 | | | | | | | | | | | | | | | | 808 |
| | 2006 | | | | | | | | | | | | | | | | |

| CN | 1894241 | | A | 20070110 | CN | 2003-823845 | | 20030808 |
|----------|-------------------|-----|--------|--------------|------|---------------|-------|----------|
| NZ | 538225 | | Α : | 20080530 | NZ | 2003-538225 | | 20030808 |
| RU | 2352568 | | C2 : | 20090420 | RU | 2005-106844 | | 20030808 |
| ZA | 2005000886 | | Α : | 20060726 | ZA | 2005-886 | | 20050131 |
| IN | 2005DN00486 | | Α : | 20070119 | IN | 2005-DN486 | | 20050208 |
| MX | 2005001594 | | A : | 20050920 | MX | 2005-1594 | | 20050209 |
| NO | 2005001225 | | Α : | 20050509 | NO | 2005-1225 | | 20050309 |
| US | 20060122397 | | A1 : | 20060608 | US | 2005-274611 | | 20051114 |
| US | 7456200 | | B2 : | 20081125 | | | | |
| PRIORITY | APPLN. INFO.: | | | | US | 2002-402040P | P | 20020809 |
| | | | | | US | 2003-637012 | B3 | 20030808 |
| | | | | | WO | 2003-US24846 | W | 20030808 |
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 140:199331

GI

AB The present invention relates to five-membered heterocyclic compds. (shown as I; variables defined below; e.g. II), a process for their preparation and new intermediates prepared therein, pharmaceutical formulations containing said compds. and to the use of said compds. in therapy, e.g. neurol., psychiatric and chronic and acute pain disorders (no data). Typical IC50 values for mGluR5 receptor antagonist activity are ≤10 uM; no values for individual compds. are given. Methods of preparation are claimed and example prepns. and/or characterization data are included for .apprx.800 examples of I and intermediates. For example, [3-[3-[[4-methyl-5-(thiophen-2-v1)-4H-[1,2,4]triazol-3yl]sulfanyl]methyl][1,2,4]oxadiazol-5-yl]phenyl]carbamic acid tert-Bu ester was prepared in 79% yield by condensation of 4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazole-3-thiol with [3-(3-chloromethyl-[1,2,4]oxadiazol-5-yl)phenyl]carbamic acid tert-Bu ester in MeCN in the presence of K2CO3. For I: P = H, C3-7alkyl or a 3-to 8-membered ring containing ≥ 1 atoms = C, N, O and S, which ring may optionally be fused with a 5- or 6-membered ring containing ≥ 1 C, N, $\hat{\text{O}}$ and S; R1 = H, hydroxy, halo, nitro, C1-6-alkylhalo, OC1-6alkylhalo, C1-6alkyl, OC1-6alkyl, C2-6alkenyl, OC2-6alkenyl, C2-6alkynyl, OC2-6alkynyl, C0-6alkylC3-6cycloalkyl, etc. and a 5- or 6-membered ring containing ≥1 C, N, O and S, wherein said ring may be substituted by ≥1 A. M1 = a bond, C1-3alkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(C0)C0-4alkyl, C0-3alkylOC0-3alkyl, C0-3alkyl(C0)NR5,

C0-3alky1(C0)NR5C0-3alky1, C0-4-alky1NR5, C0-3alky1SC0-3alky1, etc.; R2 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X1, X2 and X3 = CR, CO, N, NR, O and S; R = H, C0-3alkyl, halo, CO-3alkylOR5, CO-3-alkylNR5R6, CO-3alkyl(CO)OR5, CO-3alkylNR5R6 and C0-3alkylaryl; M2 = a bond, C1-3alkyl, C3-7cycloalkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(C0)C0-4alkyl, C0-3alkylOC0-3alkyl, etc.; R3 = H, hydroxy, C0-6alkylcvano, oxo, NR, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X4 = C0-4alkvlR5, C0-4alkvl(NR5R6), C0-4-alkvl(NR5R6):N, NR5C0-4alkyl(NR5R6):N, NOC0-4alkyl, C1-4alkylhalo, C, O, SO, SO2 and S; Q is a 5- or 6-membered ring containing ≥1 C, N, O and S, which group may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S and which fused ring may be substituted by ≥1 A. R4 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, OC1-4alkyl, OC0-6alkylaryl, etc. and a 5- or 6-membered ring containing ≥1 atoms = C, N, O or S, wherein said ring may be substituted by ≥1 A; R5, R6 = H, OH, C1-6alkyl, etc.; A = H, OH, O, halo, nitro, C0-6alkylcyano, etc.; m = 0-4; and n = 0-3; addnl. details are given in the claims.

IT 660422-23-1P 660422-24-2P 660422-83-3P 660422-84-4P 660423-10-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of five-membered heterocyclic compds. as mGluR5 receptor antagonists)

RN 660422-23-1 HCAPLUS

CN 1,2,4-Oxadiazole, 5-(3-chlorophenyl)-3-[[[4-ethyl-5-(2-thienyl)-4H-1,2,4-triazol-3-yl]oxy]methyl]- (CA INDEX NAME)

RN 660422-24-2 HCAPLUS

CN Pyridine, 4-[5-[[5-(5-chloro-2-fluorophenyl)-1,2,4-oxadiazol-3-yl]methoxy]4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

$$\begin{array}{c} Me \\ N \\ N \\ N-N \end{array} \begin{array}{c} C1 \\ N \\ N-O \end{array}$$

RN 660422-83-3 HCAPLUS

CN Pyridine, 4-[5-[2-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-y1]propyl]-4methyl-4H-1,2,4-triazol-3-y1]- (CA INDEX NAME)

RN 660422-84-4 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N-methyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \text{Me} \\ & & & \text{Me} \\ & & \text{N} \\ & & \text{CH}_2 - \text{N} \\ & & & \text{N} - \text{N} \end{array}$$

RN 660423-10-9 HCAPLUS

CN Pyridine, 3-[5-[1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

OS.CITING REF COUNT:

THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

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(FILE 'HOME' ENTERED AT 10:42:36 ON 22 FEB 2010)

13

FILE 'REGISTRY' ENTERED AT 10:42:58 ON 22 FEB 2010

L1 STRUCTURE UPLOADED L2 0 S L1

L3 38 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 10:43:28 ON 22 FEB 2010

L4 6 S L3 L5 1 S L4 AND PY<=2004

=> s 14 and receptor mediated disorders

847197 RECEPTOR 781201 RECEPTORS

1017645 RECEPTOR

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           225 RECEPTOR MEDIATED DISORDERS
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=> s 14 and mglur5 receptor mediated disorders
          1608 MGLUR5
        847197 RECEPTOR
        781201 RECEPTORS
       1017645 RECEPTOR
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        606156 MEDIATED
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       1017645 RECEPTOR
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           249 MGLUR5 RECEPTOR
                 (MGLUR5 (W) RECEPTOR)
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        256827 DISORDERS
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     (FILE 'HOME' ENTERED AT 10:42:36 ON 22 FEB 2010)
     FILE 'REGISTRY' ENTERED AT 10:42:58 ON 22 FEB 2010
                STRUCTURE UPLOADED
              0 S L1
             38 S L1 SSS FULL
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Page 29

FILE 'HCAPLUS' ENTERED AT 10:43:28 ON 22 FEB 2010

6 S L3 T. 4 L5 1 S L4 AND PY<=2004

L6 1 S L4 AND RECEPTOR MEDIATED DISORDERS

L7 1 S L4 AND MGLUR5 RECEPTOR MEDIATED DISORDERS

L8 2 S L4 AND MGLUR5 RECEPTOR L9 2 S L4 AND DISORDERS

=> d 15 ibib abs tot

L5 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2010 ACS on STN

2004:143126 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 140:199331

Preparation of five-membered heterocyclic compounds as TITLE:

mGluR5 receptor antagonists INVENTOR(S):

Wensbo, David; Xin, Tao; Stefanac, Tomislav; Arora, Jalaj; Edwards, Louise; Isaac, Methvin; Slassi, Abdelmalik; Stormann, Thomas M.; McLeod, Donald A.; Kers, Annika; Malmberg, Johan; Oscarsson, Karin; Gyback, Helena; Johansson, Martin; Minidis, Alexander;

Waldman, Mangus; Yngve, Ulrika; Osterwall, Christoffer Astra Zeneca Ab. Swed .: NPS Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 318 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT ASSIGNEE(S):

| | ENT : | | | | | | | | | | ICAT | | | | | | | |
|----|--------------|------|-----|-----|-----|-----|------|------|-----|------|----------------|-------|-----|-----|-----|------|-----|---|
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2004 | | | | | | | | | WO 2 | 2003- | US24 | 846 | | 2 | 0030 | 808 | < |
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| | | | | | | | | | | | EE, | | | | | | | |
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| | | FI, | FR, | GB, | GR, | HU, | ΙE, | IT, | LU, | MC, | NL, | PT, | RO, | SE, | SI, | SK, | TR, | |
| | | BF, | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | MR, | ΝE, | SN, | TD, | ΤĠ | |
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| | 2003 | | | | | | | | | | | | | | | | | |
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| EP | 1529 | 045 | | | A2 | | 2005 | 0511 | | EP 2 | 2003- | 7850 | 36 | | 2 | 0030 | 808 | |
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| | | | | | | | | | | | TR, | | | | | | | |
| BR | 2003 | 0132 | 65 | | A | | 2005 | 0705 | | BR 2 | 2003-
2004- | 1326 | 5 | | 2 | 0030 | 808 | |
| JP | 2006 | 5030 | 09 | | T | | 2006 | 0126 | | JP 2 | 2004- | 5278 | 72 | | 2 | 0030 | 808 | |
| CN | 1894 | 241 | | | A | | 2007 | 0110 | | CN 2 | 2003-
2003- | 8238 | 45 | | 2 | 0030 | 808 | |
| NZ | 5382 | 25 | | | A | | 2008 | 0530 | | NZ 2 | 2003- | 5382: | 25 | | 2 | 0030 | 808 | |
| | | | | | | | | | | | 2005- | | | | | | | |
| za | 2005 | 0008 | 86 | | A | | 2006 | 0726 | | ZA 2 | 2005- | 886 | | | 2 | 0050 | 131 | |
| IN | 2005 | DNO0 | 486 | | A | | 2007 | 0119 | | IN 2 | 2005- | DN48 | 6 | | 2 | 0050 | 208 | |

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NO 2005001225
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B2 | 20050920
20050509
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20081125 | NO | 2005-1594
2005-1225
2005-274611 | | 20050209
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20051114 |
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| PRIORITY APPLN. INFO.: | 22 | 20001123 | US | 2002-402040P
2003-637012
2003-US24846 | P
B3
W | 20020809
20030808
20030808 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 140:199331 GI

AB The present invention relates to five-membered heterocyclic compds. (shown as I; variables defined below; e.g. II), a process for their preparation and new intermediates prepared therein, pharmaceutical formulations containing said compds. and to the use of said compds. in therapy, e.g. neurol., psychiatric and chronic and acute pain disorders (no data). Typical IC50 values for mGluR5 receptor antagonist activity are ≤10 μM; no values for individual compds. are given. Methods of preparation are claimed and example prepns. and/or characterization data are included for .apprx.800 examples of I and intermediates. For example, [3-[3-[[[4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazol-3yl]sulfanyl]methyl][1,2,4]oxadiazol-5-yl]phenyl]carbamic acid tert-Bu ester was prepared in 79% yield by condensation of 4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazole-3-thiol with [3-(3-chloromethyl-[1,2,4]oxadiazol-5-yl)phenyl]carbamic acid tert-Bu ester in MeCN in the presence of K2CO3. For I: P = H, C3-7alkyl or a 3-to 8-membered ring containing ≥ 1 atoms = C, N, O and S, which ring may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S; R1 = H, hydroxy, halo, nitro, C1-6-alkylhalo, OC1-6alkylhalo, C1-6alkyl, OC1-6alkyl, C2-6alkenyl, OC2-6alkenyl, C2-6alkynyl, OC2-6alkynyl, C0-6alkylC3-6cycloalkyl, etc. and a 5- or 6-membered ring containing ≥ 1 C, N, O and S, wherein said ring may be substituted by ≥ 1 A. M1 = a bond, C1-3alkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(C0)C0-4alkyl, C0-3alkylOC0-3alkyl, C0-3alkyl(C0)NR5, CO-3alkyl(CO)NR5CO-3alkyl, CO-4-alkylNR5, CO-3alkylSCO-3alkyl, etc.; R2 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X1, X2 and X3 = CR, CO, N, NR, O and S; R = H, C0-3alkyl, halo, C0-3alkylOR5, C0-3-alkylNR5R6, C0-3alkyl(CO)OR5, C0-3alkylNR5R6 and CO-3alkylaryl; M2 = a bond, C1-3alkyl, C3-7cycloalkyl, C2-3alkenyl,

C2-3alkynyl, C0-4alkyl(C0)C0-4alkyl, C0-3alkylOC0-3alkyl, etc.; R3 = H, hydroxy, C0-6alkylcyano, oxo, NR, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X4 = C0-4alkylR5, C0-4alkyl(NR5R6), C0-4-alkyl(NR5R6):N, NR5C0-4alkyl(NR5R6):N, NOC0-4alkyl, C1-4alkylhalo, C, O, SO, SO2 and S; Q is a 5- or 6-membered ring containing ≥1 C, N, O and S, which group may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S and which fused ring may be substituted by ≥1 A. R4 = H, hydroxy, C0-6alkylcvano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, OC1-4alkyl, OC0-6alkylaryl, etc. and a 5- or 6-membered ring containing ≥1 atoms = C, N, O or S, wherein said ring may be substituted by ≥1 A; R5, R6 = H, OH, C1-6alkyl, etc.; A = H, OH, O, halo, nitro, C0-6alkylcyano, etc.; m = 0-4; and n = 0-3; addnl. details are given in the claims. OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS

RECORD (20 CITINGS)

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L6 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1292048 HCAPLUS

DOCUMENT NUMBER: 144:36353

TITLE: Preparation of heteropolycyclic compounds and their use as metabotropic glutamate receptor antagonists INVENTOR(S): Edwards, Louise; Isaac, Methvin, Johansson, Martin; Kers, Annika; Malmberg, Johan; McLeod, Donald; Mindis, Alexander: Staaf Karin. Slaesi Botelmalik; Stafenace

Alexander; Staaf, Karin; Slassi, Abdelmalik; Stefanac, Tomislav; Stormann, Thomas; Wensbo, David; Xin, Tao; Arora, Jalaj

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Nps Pharmaceuticals Inc.

SOURCE: U.S. Pat. Appl. Publ., 175 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

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| Ū | | 2005 | | | | A1 | | 2005 | | | | 005- | | | | 2 | 0050 | | |
| U | S | 7585 | 881 | | | B2 | | 2009 | 0908 | | | | | | | | | | |
| A | U | 2005 | 2702 | 08 | | A1 | | 2006 | 0209 | | AU 2 | 005- | 2702 | 08 | | 2 | 0050 | 215 | |
| С | А | 2555 | 566 | | | A1 | | 2006 | 0209 | | CA 2 | 005- | 2555 | 566 | | 2 | 0050 | 215 | |
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| | | W: | AE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
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| | | RW: | | | | | | CZ, | | | | | | | | | | | |
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| | | | | | RU. | | | ~~, | ~_, | ~-, | , | , | , | , | , | , | , | , | |
| E | P | 1723 | | | | | | 2006 | 1122 | | EP 2 | 005- | 8028 | 55 | | 2 | 0050 | 215 | |
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| BR 2005007497 A 20070710 BR 2005-7497 200 | 50215
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| CN 101096368 A 20080102 CN 2007-10127847 200 SG 146657 A1 20081030 SG 2008-6914 200 | 50215
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| PRIORITY APPLN. INFO: US 2004-608960P P 200
US 2005-53752 A3 200
CN 2005-80004306 A3 200 | 70818
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 144:36353; MARPAT 144:36353

AB The present invention presents the syntheses of heteropolycyclic compds., e.g. I and II, for use as metabotropic glutamate receptor antagonists. For example, adding BuLi to 4-(4-cyclopropyl-5-methyl-4H-[1,2,4]triazol-3-yl)pyridine in THF at -78°C for 15 mins and then adding 3-(1-bromoethyl)-5-(3-chlorophenyl)-1[1,2,4]oxadiazole in THF gave I. The compds. are designed for the prevention and/or treatment of mGluR5 receptor-mediated disorders.

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD
(7 CITINGS)

=> d 17 ibib abs tot

L7 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1292048 HCAPLUS

DOCUMENT NUMBER: 144:36353

Preparation of heteropolycyclic compounds and their TITLE:

use as metabotropic glutamate receptor antagonists Edwards, Louise; Isaac, Methvin; Johansson, Martin; INVENTOR(S): Kers, Annika; Malmberg, Johan; McLeod, Donald; Mindis, Alexander; Staaf, Karin; Slassi, Abdelmalik; Stefanac, Tomislav; Stormann, Thomas; Wensbo, David; Xin, Tao;

Arora, Jalaj

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Nps Pharmaceuticals Inc.

U.S. Pat. Appl. Publ., 175 pp. SOURCE:

CODEN: USXXCO DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| PATENT NO. KIND DATE APPLICATION NO. | DATE |
|---|---------------|
| | 20050209 |
| AU 2005270208 A1 20060209 AU 2005-270208 | 20050215 |
| CA 2555566 A1 20060209 CA 2005-2555566 | 20050215 |
| WO 2006014185 A1 20060209 WO 2005-US4774 | 20050215 |
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| GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR | |
| LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ | Z, NA, NI, |
| NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK | K, SL, SM, |
| SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, | J, ZA, ZM, ZW |
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| KZ, MD, RU, TJ, TM | |
| EP 1723144 A1 20061122 EP 2005-802855 | |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR | |
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| HR, LV, MK, YU | |
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| JP 2007523168 T 20070816 JP 2006-554165 | |
| CN 101096368 A 20080102 CN 2007-10127847 | 20050215 |
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| CN 101096368 A 20080102 CN 2007-10127847 SG 146657 A1 20081030 SG 2008-6914 NZ 548954 A 20090731 NZ 2005-548954 RU 2370495 C2 20091020 RU 2006-128446 | |
| ZA 2006006551 A 20071128 ZA 2006-6551 | 20050215 |
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| MX 2006009020 A 20061207 MX 2006-9020 | 20060808 |
| KR 2007018006 A 20070213 KR 2006-716018 | |
| IN 2006DN04751 A 20070831 IN 2006-DN4751 | |
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| PRIORITY APPLN. INFO.: | | | | 2004-608960P | P | 20040218 |
| US 20080045571 | A1 : | 20080221 | | 2007-840953 | | 20070818 |
| US 20080015204 | | 20080117 | US | 2007-840955 | | 20070818 |
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| US 20070293545 | A1 2 | 20071220 | US | 2007-840954 | | 20070818 |
| | | | | | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 144:36353; MARPAT 144:36353

AB The present invention presents the syntheses of heteropolycyclic compds., e.g. I and II, for use as metabotropic glutamate receptor antagonists. For example, adding BuLi to 4-(4-cyclopropyl-5-methyl-4H-[1,2,4]triazol-3-yl)pyridine in THF at -78°C for 15 mins and then adding 3-(1-bromoethyl)-5-(3-chlorophenyl)-1[1,2,4]oxadiazole in THF gave I. The compds. are designed for the prevention and/or treatment of mGluR5 receptor-mediated disorders.

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

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L8 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1292048 HCAPLUS

DOCUMENT NUMBER: 144:36353

TITLE: Preparation of heteropolycyclic compounds and their use as metabotropic glutamate receptor antagonists

INVENTOR(S): Edwards, Louise; Isaac, Methvin; Johansson, Martin; Kers, Annika; Malmberg, Johan; McLeod, Donald; Mindis, Alexander; Staaf, Karin; Slassi, Abdelmalik; Stefanac,

Tomislav; Stormann, Thomas; Wensbo, David; Xin, Tao; Arora, Jalaj

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Nps Pharmaceuticals Inc.

SOURCE: U.S. Pat. Appl. Publ., 175 pp.

CODEN: USXXCO Patent English

LANGUAGE: Er FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| | TENT | | | | KIN | | | | | | LICAT | | | | | ATE | | | | | |
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| EP | 1723
R: | | | ъс. | | | | | | | | | | | | | | | | | |
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| | | | | | | LU, | PIC, | NL, | PL, | PI | , RO, | SE, | 51, | Sr, | IK, | AL, | BA, | | | | |
| CM | 1004 | | | MK, | | | 2007 | 0620 | | OM. | 2005 | 0000 | 1206 | | 2 | 0050 | 215 | | | | |
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| NO | 2006 | 0035 | 99 | | A | | | 1027 | | | 2006- | | | | | | | | | | |
| | 2006 | | | | | | | 1207 | | | | | | | | | | | | | |
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A | | | 0213 | | KR | 2006-
2006- | 7160 | 18 | | 2 | 0060 | 808 | | | | |
| | 2006 | | | | A | | | 0831 | | IN | 2006- | DN47 | 51 | | 2 | 0060 | 818 | | | | |
| US | 2007 | 0179 | 188 | | A1 | | 2007 | 0802 | | | 2007- | | | | 2 | | | | | | |
| US | 2007 | 0293 | 545 | | | | 2007 | 1220 | | US | 2007- | 8409 | | | | | | | | | |
| US | 2008 | 0015 | 234 | | A1 | | 2008 | 0117 | | US | 2007- | 8409 | 52 | | 2 | 0070 | 818 | | | | |
| US | 2008 | 0015 | 204 | | A1 | | 2008 | 0117 | | US | 2007- | 8409 | 55 | | 2 | 0070 | 818 | | | | |
| US | 2008 | 0045 | 571 | | A1 | 2008 | 0221 | | | 2007- | | | | | | | | | | | |
| PRIORIT | Y APP | LN. | INFO | . : | | | | | | US | 2004- | 6089 | | P 20040218 | | | | | | | |
| | | | | | | | | | | US 2005-53752
CN 2005-80004306
WO 2005-US4774 | | | | | | A3 20050209 | | | | | |
| CI | | | | | | | | | | | | 8000 | 4306 | | A3 2 | 0050 | 215 | | | | |
| | | | | | | | | | | WO | 2005- | US47 | 74 | | W 2 | 0050 | 215 | | | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 144:36353; MARPAT 144:36353

The present invention presents the syntheses of heteropolycyclic compds., e.g. I and II, for use as metabotropic glutamate receptor antagonists. For example, adding BuLi to 4-(4-cyclopropyl-5-methyl-4H-[1,2,4]triazol-3yl)pyridine in THF at -78°C for 15 mins and then adding 3-(1-bromoethyl)-5-(3-chlorophenyl)-[1,2,4]oxadiazole in THF gave I. The compds. are designed for the prevention and/or treatment of mGluR5 receptor-mediated disorders.

OS.CITING REF COUNT: THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:143126 HCAPLUS DOCUMENT NUMBER: 140:199331

TITLE: Preparation of five-membered heterocyclic compounds as

mGluR5 receptor antagonists INVENTOR(S): Wensbo, David; Xin, Tao; Stefanac, Tomislav; Arora,

Jalaj; Edwards, Louise; Isaac, Methvin; Slassi, Abdelmalik; Stormann, Thomas M.; McLeod, Donald A.; Kers, Annika; Malmberg, Johan; Oscarsson, Karin; Gyback, Helena; Johansson, Martin; Minidis, Alexander;

Waldman, Mangus; Yngve, Ulrika; Osterwall, Christoffer PATENT ASSIGNEE(S): Astra Zeneca Ab, Swed.; NPS Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 318 pp.

CODEN: PIXXD2 Patent

DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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| WO | 2004 | 0148 | 81 | | A3 | | 2004 | 0527 | | | | | | | | | | |
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| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | LK, | LR, | |

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I, YU, | | | | 10, | IPI, | IN, |
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| | Kw. | | | | | | | | | , CH, | | | | | | |
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| | 1894 | | | | | | | 0110 | | 2003- | | | | | 0030 | |
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| ZA | 2005 | 0008 | 86 | | A | - 3 | 2006 | 0726 | ZA | 2005- | 886 | | | 2 | 0050 | 131 |
| IN | 2005 | DNO0 | 486 | | A | - 1 | 2007 | 0119 | IN | 2005- | DN 48 | 6 | | 2 | 0050 | 208 |
| MX | 2005 | 0015 | 94 | | A | | 2005 | 0920 | MX | 2005- | 1594 | | | 2 | 0050 | 209 |
| NO | 2005 | 0012 | 25 | | A | | 2005 | 0509 | NO | 2005- | 1225 | | | 2 | 0050 | 309 |
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| US | 7456 | 200 | | | B2 | | 2008 | 1125 | | | | | | | | |
| PRIORITY | APP: | LN. | INFO | . : | | | | | US | 2002- | 4020 | 40P | 1 | P 2 | 0020 | 809 |
| | | | | | | | | | US | 2003- | 6370 | 12 | 1 | B3 2 | 0030 | 808 |
| | | | | | | | | | WO | 2003- | US24 | 846 | 1 | W 2 | 0030 | 808 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 140:199331

AB The present invention relates to five-membered heterocyclic compds. (shown as I, variables defined below; e.g., II), a process for their preparation and new intermediates prepared therein, pharmaceutical formulations containing said compds. and to the use of said compds. in therapy, e.g. neurol., psychiatric and chronic and acute pain disorders (no data). Typical IC50 values for mGluRS receptor antagonist activity are ≤10 µW; no values for individual compds. are given. Methods of

preparation are claimed and example prepns, and/or characterization data are included for .apprx.800 examples of I and intermediates. For example, [3-[3-[[[4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazol-3yl]sulfanyl]methyl][1,2,4]oxadiazol-5-yl]phenyl]carbamic acid tert-Bu ester was prepared in 79% yield by condensation of 4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazole-3-thiol with [3-(3-chloromethyl-[1,2,4]oxadiazol-5-yl)phenyl]carbamic acid tert-Bu ester in MeCN in the presence of K2CO3. For I: P = H, C3-7alkyl or a 3to 8-membered ring containing ≥1 atoms = C, N, O and S, which ring may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S; R1 = H, hydroxy, halo, nitro, C1-6-alkylhalo, OC1-6alkylhalo, C1-6alkyl, OC1-6alkyl, C2-6alkenyl, OC2-6alkenyl, C2-6alkynyl, OC2-6alkynyl, C0-6alkylC3-6cycloalkyl, etc. and a 5- or 6-membered ring containing ≥1 C, N, O and S, wherein said ring may be substituted by ≥1 A. M1 = a bond, C1-3alkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(C0)C0-4alkyl, C0-3alkylOC0-3alkyl, C0-3alkyl(C0)NR5, C0-3alkyl(CO)NR5C0-3alkyl, C0-4-alkylNR5, C0-3alkylSC0-3alkyl, etc.; R2 =H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X1, X2 and X3 = CR, CO, N, NR, O and S; R = H, C0-3alkyl, halo, CO-3alkylOR5, CO-3-alkylNR5R6, CO-3alkyl(CO)OR5, CO-3alkylNR5R6 and CO-3alkylaryl; M2 = a bond, C1-3alkyl, C3-7cycloalkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(C0)C0-4alkyl, C0-3alkylOC0-3alkyl, etc.; R3 = H, hydroxy, C0-6alkylcyano, oxo, NR, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X4 = C0-4alkylR5, C0-4alkyl(NR5R6), C0-4-alkyl(NR5R6):N, NR5C0-4alkyl(NR5R6):N, NOC0-4alkyl, C1-4alkylhalo, C, O, SO, SO2 and S; Q is a 5- or 6-membered ring containing ≥1 C, N, O and S, which group may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S and which fused ring may be substituted by ≥1 A. R4 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, OC1-4alkyl, OC0-6alkylaryl, etc. and a 5- or 6-membered ring containing ≥1 atoms = C, N, O or S, wherein said ring may be substituted by ≥1 A; R5, R6 = H, OH, C1-6alkyl, etc.; A = H, OH, O, halo, nitro, C0-6alkylcyano, etc.; m = 0-4; and n = 0-3; addnl. details are given in the claims. OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

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L9 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1292048 HCAPLUS

DOCUMENT NUMBER: 144:36353

TITLE:

Preparation of heteropolycyclic compounds and their use as metabotropic glutamate receptor antagonists Edwards, Louise; Isaac, Methvin; Johansson, Martin; INVENTOR(S):

Kers, Annika; Malmberg, Johan; McLeod, Donald; Mindis, Alexander; Staaf, Karin; Slassi, Abdelmalik; Stefanac, Tomislav; Stormann, Thomas; Wensbo, David; Xin, Tao;

Arora, Jalaj

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Nps Pharmaceuticals Inc.

SOURCE: U.S. Pat. Appl. Publ., 175 pp.

CODEN: USXXCO DOCUMENT TYPE: Pat.ent.

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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| | TENT | NO. | | | KIND DATE | | | | | APPL | ICAT | ION | NO. | | DATE | | | | |
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7585
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881
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2006 | 1208
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215 | | |
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| EP | 1723 | | | | A1 | | | | | | | | | | | 0050 | | | |
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| Chi | 1984 | | | MK, | - | | 2007 | 0620 | | CM 2 | 005- | 0000 | 1206 | | | 0050 | 215 | | |
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C2 20091020 RU 2006-128446 | | | | | | | | | 2 | 20050215 | | | |
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C2 20091020 RU 2006-128446
A 20071128 ZA 2006-6551 | | | | | | | | | 2 | 20050215 | | | |
| RU | 2370 | 495 | | | C2 | | 2009 | 1020 | | RU 2 | 2006-128446 20050215 | | | | | | | | |
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| | | | 20 | | A | | 2006 | 1207 | | MX 2 | 006- | 9020 | | | - 2 | 0060 | | | |
| | 2007 | | | | | | 2007 | 0213 | | KR 2 | 006- | 7160 | 18 | | 2 | 0060 | 808 | | |
| | 2006 | | 100 | | A 2.1 | | 2007
2007 | | | IN 2 | 006- | DN4/ | 0.3 | | - 4 | 0060 | 313
818 | | |
| | 2007 | | | | A1 | | 2007 | | | US 2 | 007- | 8400 | 54 | | | 0070 | 818 | | |
| | 2008 | | | | A1 | | 2008 | | | US 2 | 007 | 8409 | 52 | | - 5 | 0070 | 818 | | |
| | 2008 | | 204 | | | | 2008 | | | | | | | | | 0070 | | | |
| | 2008 | | | | | | 2008 | | | IIS 2 | 007- | 8409 | 53 | | - 2 | 0070 | 818 | | |
| PRIORIT | Y APP | LN. | INFO | . : | | | | | | US 2 | 004- | 6089 | 60P | | P 2 | 0040 | 218 | | |
| | | | | | | | | | | US 2 | 005- | 5375 | 2 | | A3 2 | 0050 | 209 | | |
| | | | | | | | | | | CN 2 | 005- | 8000 | 4306 | | A3 20050209
A3 20050215 | | | | |
| | | | | | | | | | WO 2005-US4774 W 20050215 | | | | | | | | 215 | | |
| | | | | | | | | | BLE IN LSUS DISPLAY FORMAT | | | | | | | | | | |
| OTHER S | OURCE | | | | CASREACT 144:363 | | | | | MAR | PAT | 144: | | | | | | | |

The present invention presents the syntheses of heteropolycyclic compds., e.g. I and II, for use as metabotropic glutamate receptor antagonists. For example, adding BuLi to 4-(4-cyclopropyl-5-methyl-4H-[1,2,4]triazol-3-yl)pyridine in THF at -78°C for 15 mins and then adding 3-(1-bromoethyl)-5-(3-chlorophenyl)-[1,2,4]oxadiazole in THF gave I. The compds. are designed for the prevention and/or treatment of mGluR5 receptor-mediated disorders.

OS.CITING REF COUNT: THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:143126 HCAPLUS DOCUMENT NUMBER: 140:199331

TITLE: Preparation of five-membered heterocyclic compounds as

mGluR5 receptor antagonists

INVENTOR(S): Wensbo, David; Xin, Tao; Stefanac, Tomislav; Arora, Jalaj; Edwards, Louise; Isaac, Methvin; Slassi, Abdelmalik; Stormann, Thomas M.; McLeod, Donald A.;

> Kers, Annika; Malmberg, Johan; Oscarsson, Karin; Gyback, Helena; Johansson, Martin; Minidis, Alexander; Waldman, Mangus; Yngve, Ulrika; Osterwall, Christoffer Astra Zeneca Ab, Swed.; NPS Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 318 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT ASSIGNEE(S):

| P | ΑI | ENT | NO. | | | KIN | D | DATE | TE APPLICATION NO. | | | | | | | | | DATE | | | |
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| W | WO 2004014881 | | | | | | | 2004 | 0219 | | WO 2 | 003- | 20030808 | | | | | | | | |
| W | WO 2004014881 | | | | | | | 2004 | 0527 | | | | | | | | | | | | |
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 140:199331

AB The present invention relates to five-membered heterocyclic compds. (shown as I, variables defined below; e.g. II), a process for their preparation and new intermediates prepared therein, pharmaceutical formulations containing said compds. and to the use of said compds. in therapy, e.g. neurol, psychiatric and chronic and acute pain disorders (no data).

Typical IC50 values for mGluR5 receptor antagonist activity are <10 µN; no values for individual compds. are given. Methods of preparation are

claimed and example prepns. and/or characterization data are included for .apprx.800 examples of I and intermediates. For example, [3-[3-[[[4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazol-3yl]sulfanyl]methyl][1,2,4]oxadiazol-5-yl]phenyl]carbamic acid tert-Bu ester was prepared in 79% yield by condensation of 4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazole-3-thiol with [3-(3-chloromethyl-[1,2,4]oxadiazol-5-yl)phenyl]carbamic acid tert-Bu ester in MeCN in the presence of K2CO3. For I: P = H, C3-7alkvl or a 3to 8-membered ring containing ≥1 atoms = C. N. O and S. which ring may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S; R1 = H, hydroxy, halo, nitro, C1-6-alkylhalo, OC1-6alkylhalo, C1-6alkyl, OC1-6alkyl, C2-6alkenyl, OC2-6alkenyl, C2-6alkynyl, OC2-6alkynyl, C0-6alkylC3-6cycloalkyl, etc. and a 5- or 6-membered ring containing ≥1 C, N, O and S, wherein said ring may be substituted by ≥1 A. M1 = a bond, C1-3alkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(C0)C0-4alkyl, C0-3alkylOC0-3alkyl, C0-3alkyl(C0)NR5, C0-3alkyl(CO)NR5C0-3alkyl, C0-4-alkylNR5, C0-3alkylSC0-3alkyl, etc.; R2 =H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X1, X2 and X3 = CR, CO, N, NR, O and S; R = H, C0-3alkyl, halo, C0-3alkvlOR5, C0-3-alkvlNR5R6, C0-3alkvl(CO)OR5, C0-3alkvlNR5R6 and CO-3alkylaryl; M2 = a bond, C1-3alkyl, C3-7cycloalkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(C0)C0-4alkyl, C0-3alkylOC0-3alkyl, etc.: R3 = H, hydroxy, C0-6alkylcyano, oxo, NR, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X4 = C0-4alkylR5, C0-4alkyl(NR5R6), C0-4-alkyl(NR5R6):N, NR5C0-4alkyl(NR5R6):N, NOC0-4alkyl, C1-4alkylhalo, C, O, SO, SO2 and S; Q is a 5- or 6-membered ring containing ≥1 C, N, O and S, which group may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S and which fused ring may be substituted by ≥1 A. R4 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, OC1-4alkyl, OC0-6alkylaryl, etc. and a 5- or 6-membered ring containing ≥1 atoms = C, N, O or S, wherein said ring may be substituted by ≥1 A; R5, R6 = H, OH, C1-6alkyl, etc.; A = H, OH, O, halo, nitro, C0-6alkylcyano, etc.; m = 0-4; and n = 0-3; addnl. details are given in the claims.

OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

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